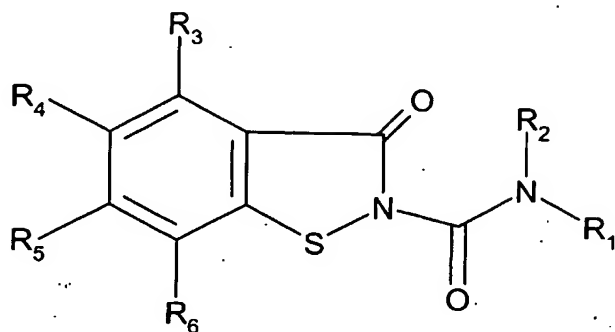


WE CLAIM:

1. A benzisothiazole-3(2H)-one compound of formula (I)



(I)

wherein;

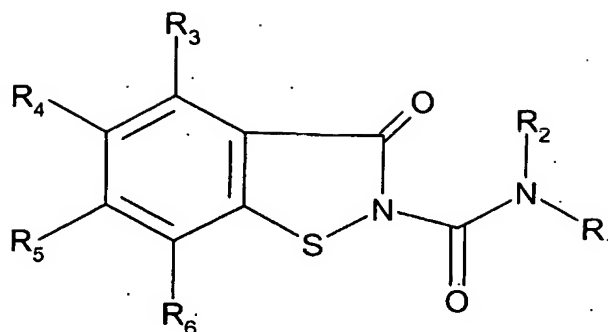
R₁ is the group (C₅-C₁₂)alkyl, (C₄-C₁₂)haloalkyl, (C₄-C₁₂)alkenyl, (C₄-C₁₂)alkynyl, (C₁-C₈)alkylcycloalkyl, (C₃-C₈)cycloalkyl, (C₁-C₁₂)alkylheterocyclic radical or aryl wherein the aryl or heterocyclic group is optionally substituted with one 1 to 3 groups independently selected from (C₁-C₁₂)alkyl, (C₂-C₁₂)alkenyl, (C₁-C₁₂)alkoxy, (C₁-C₈)alkylcycloalkyl, halo, and (C₁-C₁₂)haloalkyl;

R₂ is hydrogen;

R₃, R₄, R₅, and R₆, are each independently selected from hydrogen, (C₂-C₁₂)alkyl, (C₁-C₁₂)haloalkyl, (C₁-C₁₂)alkoxyalkyl, (C₁-C₁₀)thioalkyl, hydroxy, (C₂-C₁₂)alkenyl, (C₂-C₁₂)alkynyl, (C₁-C₁₂)alkylaryl, (C₁-C₁₂)alkylcycloalkyl, (C₁-C₁₂)alkylheterocyclic, C(O)C₁-C₆ alkyl, C(O)OC₁-C₆alkyl, phenyl or aryl; wherein each of alkyl, alkenyl, phenyl or aryl groups may be optionally substituted with one to three substituents selected from halo, amino, halo, C₁-C₆ alkyl, (C₂-C₆)alkenyl, (C₁-C₆)haloalkyl; or a pharmaceutically acceptable salt, solvate or isomer thereof.

2. Use of a compound of a benzisothiazole-3(2H)-one compound of formula (I), or a pharmaceutically acceptable salt, solvate or prodrug thereof:

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(I)

wherein;

R₁ is the group (C₅-C₁₂)alkyl, (C₄-C₁₂)haloalkyl, (C₄-C₁₂)alkenyl, (C₄-C₁₂)alkynyl, (C₁-C₈)alkylcycloalkyl, (C₃-C₈)cycloalkyl, (C₁-C₁₂)alkylheterocyclic radical or aryl wherein the aryl or heterocyclic group is optionally substituted with one 1 to 3 groups independently selected from (C₁-C₁₂)alkyl, (C₂-C₁₂)alkenyl, (C₁-C₁₂)alkoxy, (C₁-C₈)alkylcycloalkyl, halo, and (C₁-C₁₂)haloalkyl;

R₂ is hydrogen;

R₃, R₄, R₅, and R₆, are each independently selected from hydrogen, (C₂-C₁₂)alkyl, (C₁-C₁₂)haloalkyl, (C₁-C₁₂)alkoxyalkyl, (C₁-C₁₀)thioalkyl, hydroxy, (C₂-C₁₂)alkenyl, (C₂-C₁₂)alkynyl, (C₁-C₁₂)alkylaryl, (C₁-C₁₂)alkylcycloalkyl, (C₁-C₁₂)alkylheterocyclic, C(O)C₁-C₆ alkyl, C(O)OC₁-C₆alkyl, phenyl or aryl; wherein each of alkyl, alkenyl, phenyl or aryl groups may be optionally substituted with one to three substituents selected from halo, amino, halo, C₁-C₆ alkyl, (C₂-C₆)alkenyl, (C₁-C₆)haloalkyl; or a pharmaceutically acceptable salt, solvate or isomer thereof, for the treatment and/or prevention of hepatic lipase and/or endothelial lipase mediated activities.

3. A compound according to Claim 1 wherein R₁, is (C₅-C₈)alkyl, (C₄-C₆)alkenyl, -O-(C₁-C₃ alkyl), (C₃-C₄)alkylcycloalkyl, -CF₃, or aryl.

4. A compound according to Claim 1 wherein R₁, is benzyl substituted with 0, 1 or 2 substituents selected from (C₁-C₆)alkyl, (C₂-C₄)alkenyl, -O-(C₁-C₃ alkyl), (C₁-C₄)alkylcycloalkyl, and -CF₃,

5. A compound of Claim 1 wherein R₃, R₄, R₅ and R₆ are independently selected from the group consisting of (C₁-C₄)alkyl, (C₂-C₄)alkenyl, -O-(C₁-C₃ alkyl), -S-(C₁-C₃ alkyl), (C₅-C₁₂)cycloalkyl, COOH, C(O)(C₁-C₃ alkyl), C(O)O(C₁-C₃ alkyl), -CF₃, and halo.

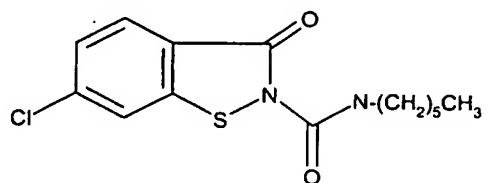
6. The compound of Claim 1 wherein R₅ is the group represented by COOH, C(O)(C₁-C₃ alkyl), C(O)O(C₁-C₃ alkyl), chloro, bromo or CF₃.

7. A compound of formula (I) selected from the group consisting of:

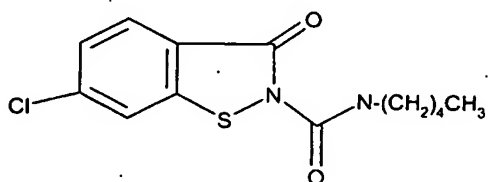
- 3-Oxo-3*H*-benzo[*d*]isothiazole-2-carboxylic acid ethylamide;
- 3-Oxo-3*H*-benzo[*d*]isothiazole-2-carboxylic acid propylamide;
- 3-Oxo-3*H*-benzo[*d*]isothiazole-2-carboxylic acid allylamide;
- 3-Oxo-3*H*-benzo[*d*]isothiazole-2-carboxylic acid pentylamide;
- 3-Oxo-3*H*-benzo[*d*]isothiazole-2-carboxylic acid hexylamide;
- 3-Oxo-3*H*-benzo[*d*]isothiazole-2-carboxylic acid (5-methyl-hexyl)-amide;
- 3-Oxo-3*H*-benzo[*d*]isothiazole-2-carboxylic acid dodecylamide;
- 3-Oxo-3*H*-benzo[*d*]isothiazole-2-carboxylic acid cyclohexylamide;
- 3-Oxo-3*H*-benzo[*d*]isothiazole-2-carboxylic acid benzylamide;
- 3-Oxo-3*H*-benzo[*d*]isothiazole-2-carboxylic acid 2-methyl-benzylamide;
- 3-Oxo-3*H*-benzo[*d*]isothiazole-2-carboxylic acid 3-methyl-benzylamide;
- 3-Oxo-3*H*-benzo[*d*]isothiazole-2-carboxylic acid 4-methyl-benzylamide;
- 3-Oxo-3*H*-benzo[*d*]isothiazole-2-carboxylic acid 2-ethyl-6-methyl-benzylamide;
- 3-Oxo-3*H*-benzo[*d*]isothiazole-2-carboxylic acid 2-isopropyl-6-methyl-benzylamide;
- 3-Oxo-3*H*-benzo[*d*]isothiazole-2-carboxylic acid phenethylamide;
- 3-Oxo-3*H*-benzo[*d*]isothiazole-2-carboxylic acid (2-thiophen-2-yl-ethyl)-amide;
- 3-Oxo-3*H*-benzo[*d*]isothiazole-2-carboxylic acid (3-phenyl-propyl)-amide;
- 3-Oxo-3*H*-benzo[*d*]isothiazole-2-carboxylic acid (4-phenyl-butyl)-amide;
- 3-Oxo-3*H*-benzo[*d*]isothiazole-2-carboxylic acid (4-cyclohexyl-butyl)-amide;
- 5-Methyl-3-oxo-3*H*-benzo[*d*]isothiazole-2-carboxylic acid butylamide;

6-Chloro-3-oxo-3*H*-benzo[*d*]isothiazole-2-carboxylic acid propylamide;
6-Chloro-3-oxo-3*H*-benzo[*d*]isothiazole-2-carboxylic acid isopropylamide;
6-Chloro-3-oxo-3*H*-benzo[*d*]isothiazole-2-carboxylic acid butylamide;
6-Chloro-3-oxo-3*H*-benzo[*d*]isothiazole-2-carboxylic acid hexylamide;
6-Chloro-3-oxo-3*H*-benzo[*d*]isothiazole-2-carboxylic acid cyclohexylamide; and
6-Chloro-3-oxo-3*H*-benzo[*d*]isothiazole-2-carboxylic acid benzylamide.

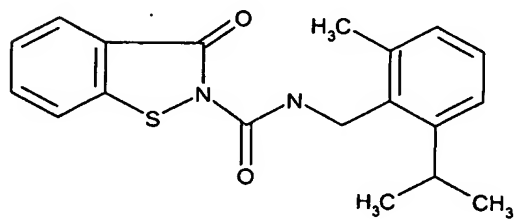
8. A benzisothiazole-3(2*H*)-one compound represented by the formulae (C1), (C2), (C3), or (C4):



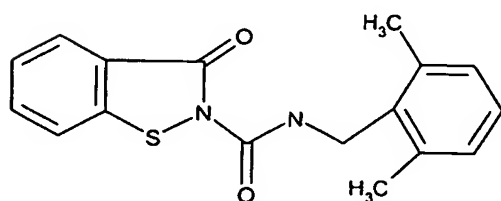
(C1),



(C2),



(C3),



-55-

(C4).

9. A pharmaceutical formulation comprising a benzisothiazole-3(2H)-one compound of formula I together with a pharmaceutically acceptable carrier or diluent.

10. A method of inhibiting hepatic lipase and/or endothelial lipase activity using a therapeutically effective amount of benzisothiazole-3(2H)-one compound of formula I.

11. A method of treating a mammal to alleviate the pathological effects of elevated hepatic lipase and/or endothelial lipase activity; comprising administering to said mammal a therapeutically effective amount of a benzisothiazole-3(2H)-one compound according to Claim 1.

12. A pharmaceutical formulation containing a therapeutically effective amount of the compound of formula I useful for the treatment and/or amelioration of the effect of elevated hepatic lipase and/or endothelial lipase activity.

13. Use of a compound of formula I for the treatment and/or prevention of low HDL levels associated with elevated hepatic lipase and/or endothelial lipase activity

14. Use of a pharmaceutical composition comprising a therapeutically effective amount of a hepatic lipase and/or endothelial lipase inhibitor compound according to Claim 1 and mixtures thereof for the manufacture of a medicament for the treatment of disease mediated by hepatic lipase and/or endothelial lipase activity.

15. Use of a benzisothiazole-3(2H)-one compound of formula I for the manufacture of a medicament for the treatment or prevention of hepatic lipase and/or endothelial lipase mediated disease comprising administering a therapeutically effective amount of a benzisothiazole-3(2H)-one compound of formula (I), or a

pharmaceutically acceptable salt, solvate or prodrug thereof: